STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 131859

TO: Shailendra Kumar **Location: 5c03 / 5c18** **Wednesday, September 15, 2004** **Art Unit: 1621** **Phone: 272-0640** **Serial Number: 10 / 624144**	**From: Jan Delaval** **Location: Biotech-Chem Library** **Rem 1A51** **Phone: 272-2504** **jan.delaval@uspto.gov**

Search Notes

Access DB# 131859

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: S Kumar Examiner # : [illegible] Date: 9/7/04
Art Unit: 1623 Phone Number 30[illegible] Serial Number: 10/624,344
Mail Box and Bldg/Room Location: [illegible] Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

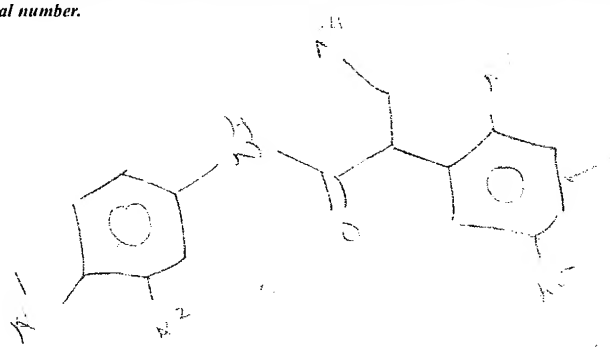
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: [illegible]

Inventors (please provide full names): [illegible]

Earliest Priority Filing Date: 1/26/2002

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**



R^2 F, Br, Cl [illegible] alkenyl, alkynyl, alkyl
R^3 OH, NH_2

Please see claim for other definitions.

****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
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Date Searcher Picked Up: 9/7/04	Bibliographic	Dr.Link (DISP)
Date Completed: 9/7/04	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	Fulltext	Sequence Systems [illegible]
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Online Time: 25	Other	Other (specify)

PTO-1590 (8-01)

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STRUCTURE FILE UPDATES:   13 SEP 2004  HIGHEST RN 744170-41-0
DICTIONARY FILE UPDATES:  13 SEP 2004  HIGHEST RN 744170-41-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
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http://www.cas.org/ONLINE/DBSS/registryss.html

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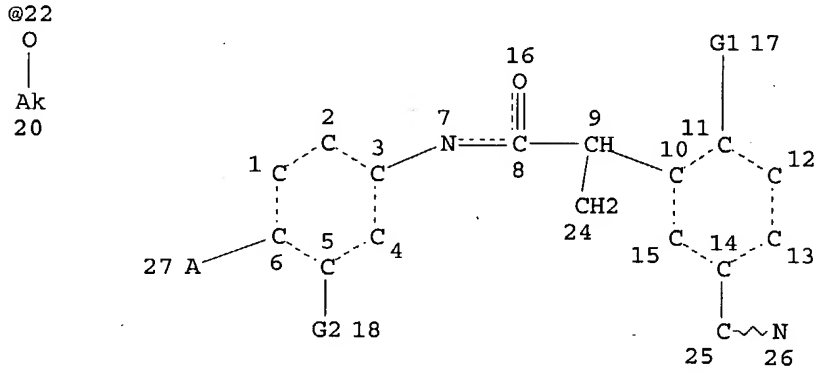


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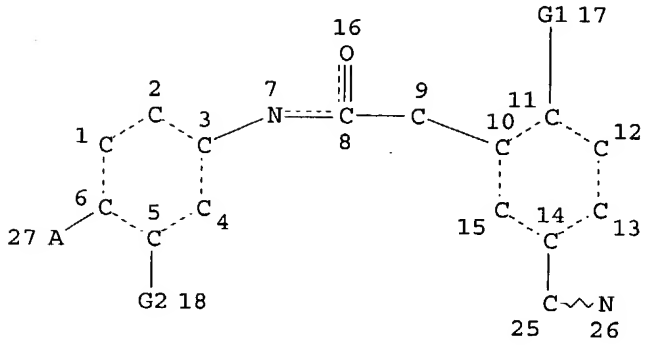

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STEREO ATTRIBUTES: NONE
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L28 18 SEA FILE=REGISTRY SUB=L26 SSS FUL L18

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E PRIEPKE H/AU
L3 52 S E4-E6
E WIENEN W/AU
L4 92 S E3,E4,E7,E8
E NAR H/AU
L5 77 S E3,E4
E HANDSCHUH S/AU
L6 19 S E3,E4
E BOEHR/PA,CS
L7 8392 S (BOEHRING? OR BOHRING?)/PA,CS
SEL RN L1

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L10 2 S (653603-98-6 OR 653603-99-7 OR 653603-96-4)/CRN
L11 5 S L9,L10
L12 4 S L8 AND 46.150.18/RID AND NC4/ES AND NR>=3 NOT L11
L13 9 S L11,L12

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FILE COVERS 1907 - 15 Sep 2004  VOL 141 ISS 12
FILE LAST UPDATED: 14 Sep 2004  (20040914/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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L16  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:95332  HCAPLUS
DN   140:146146
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ED Entered STN: 05 Feb 2004
TI Preparation of 2-phenyl-N-[4-(pyrrolidin-1-ylcarbonyl)phenyl]propanamides as factor Xa inhibitors
IN **Priepke, Henning; Ries, Uwe; Nar, Herbert; Handschuh, Sandra; Wienen, Wolfgang**
PA **Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany**
SO Ger. Offen., 19 pp.
CODEN: GWXXBX
DT Patent
LA German
IC ICM C07C237-20
ICS A61K031-4184; A61K031-517; C07D207-00; C07D295-00; C07D213-04; C07D213-16; C07D213-44; C07B043-06; C07C231-00
CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 1

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	WO 2004013115	A2	20040212	WO 2003-EP7928	20030721 <--
	WO 2004013115	A3	20040408		

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CLASS

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DE 10234057	ECLA	C07D295/18B2F <--

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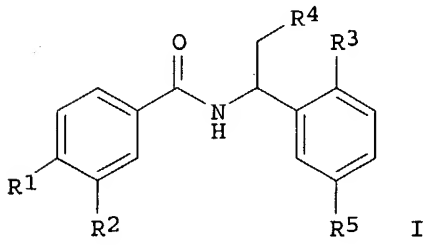

I

AB Title compound [I; R1 = (substituted) (NH-interrupted) C3-7 cycloalkylcarbonyl, phenylcarbonyl, naphthylcarbonyl, heteroarylcarbonyl,

etc.; R2 = F, Cl, Br, (fluorinated) alkenyl, alkoxy, alkyl; R3 = OH, amino; R4 = (substituted) Ph, heteroaryl, etc.; R5 = CH2NHR6, C(:NH)NH2, etc; R6 = H, alkoxycarbonyl, etc.], were prepared Thus, 2-(5-amidino-2-benzyloxyphenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-ylcarbonyl)phenyl]-3-(pyridin-3-yl)propionamide dihydrochloride in MeOH was hydrogenated with H2 in the presence of Pd/activated C to give 66% 2-(5-amidino-hydroxyphenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-ylcarbonyl)phenyl]-3-(pyridin-3-yl)propionamide dihydrochloride. The latter inhibited factor Xa with IC50 = 0.007 μM.

ST phenylpyrrolidinylcarbonylphenylpropanamide prepn factor Xa inhibitor; propanamide pyrrolidinylcarbonylphenyl phenyl prepn anticoagulant

IT Anticoagulants
Human
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)

IT Thrombosis
(treatment; preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)

IT 9002-05-5, Factor Xa
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)

IT **653603-90-8P 653603-94-2P 653603-96-4P 653603-98-6P 653603-99-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)

IT 100-39-0, Benzyl bromide 121-01-7, 2-Amino-5-nitrobenzotrifluoride 123-75-1, Pyrrolidine, reactions 446305-72-2 **653603-95-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)

IT 320-37-6P 320-47-8P 446305-77-7P 446305-78-8P 651045-13-5P, 2-(2-Benzyloxy-5-cyanophenyl)-3-phenylpropionic acid methyl ester 651045-14-6P 653603-91-9P **653603-92-0P 653603-93-1P 653603-97-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)

IT **653603-90-8P 653603-94-2P 653603-96-4P 653603-98-6P 653603-99-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)

RN 653603-90-8 HCAPLUS

CN Benzenepropanamide, α-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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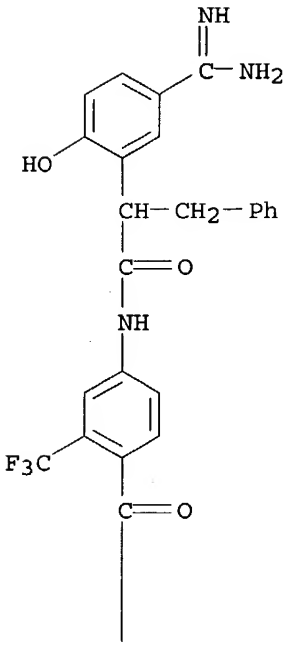

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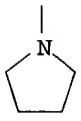

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CN 3-Pyridinepropanamide, α-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

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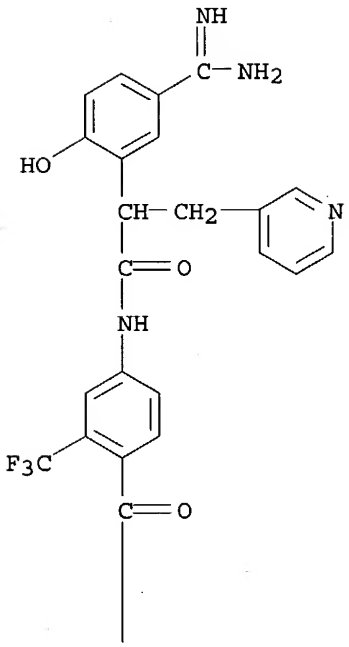

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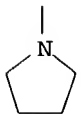

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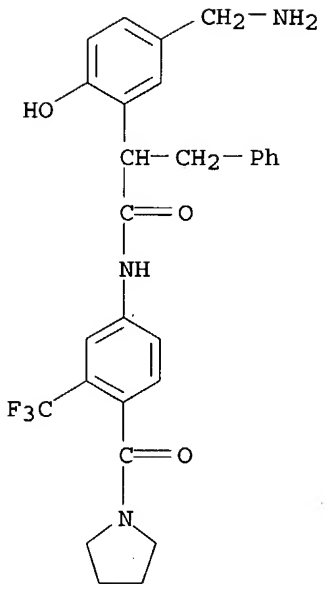

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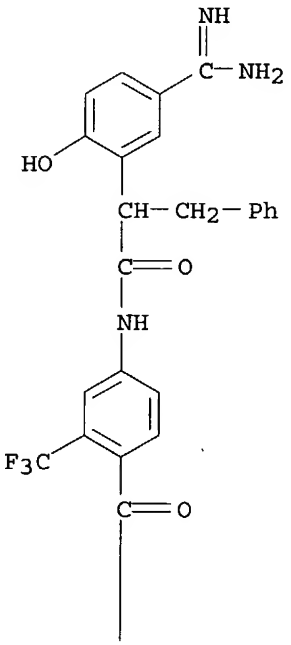

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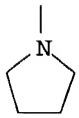

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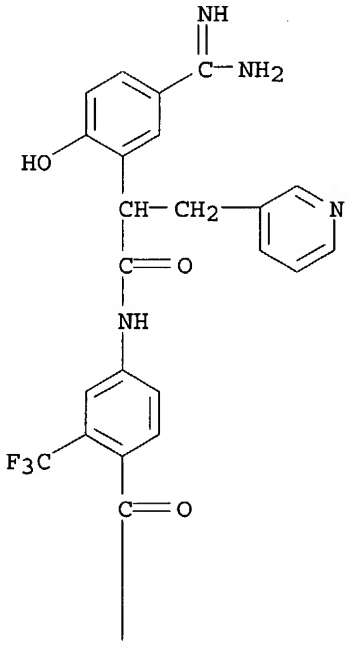
CN 3-Pyridinepropanamide, α-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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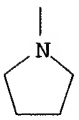
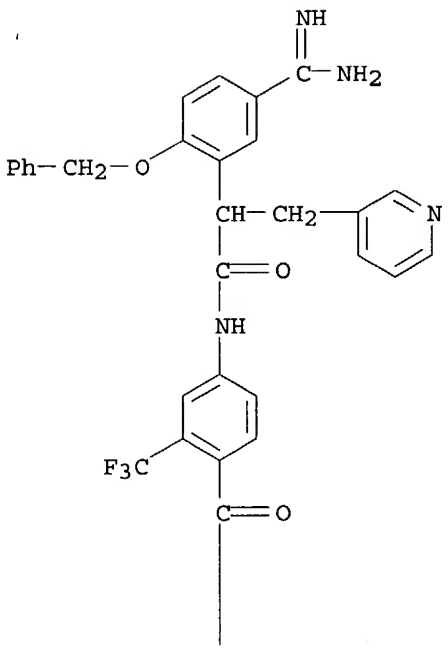


IT **653603-95-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)
RN 653603-95-3 HCAPLUS
CN 3-Pyridinepropanamide, α-[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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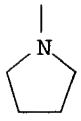
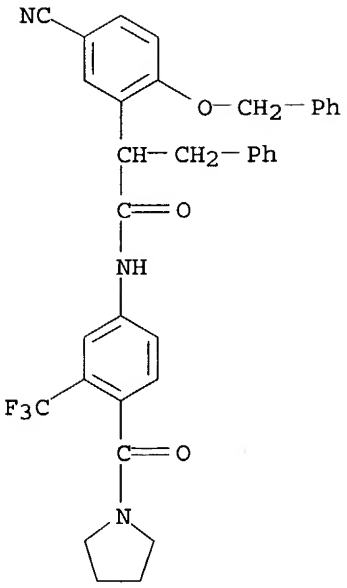


●2 HCl

IT **653603-92-0P 653603-93-1P 653603-97-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)

RN 653603-92-0 HCAPLUS

CN Benzenepropanamide, α-[5-cyano-2-(phenylmethoxy)phenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



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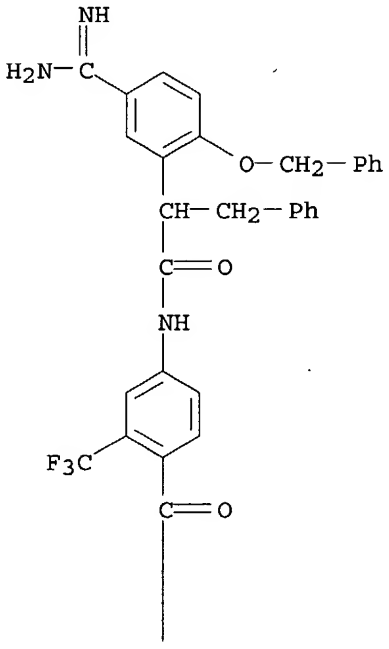

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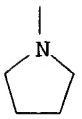

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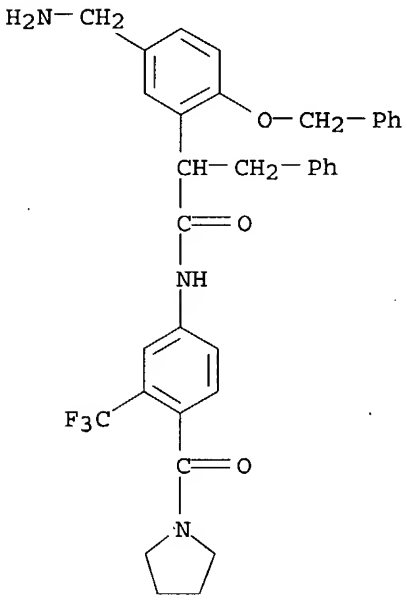

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CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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L17 ANSWER 1 OF 1 USPATFULL on STN
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Wienen, Wolfgang, Bieberach/Rissegg, GERMANY, FEDERAL REPUBLIC OF
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Handschuh, Sandra, Biberach, GERMANY, FEDERAL REPUBLIC OF
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CLMN Number of Claims: 6
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 987
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Carboxylic acid amides of general formula ##STR1##

possessing antithrombotic activity and a factor Xa-inhibiting activity. Exemplary are:

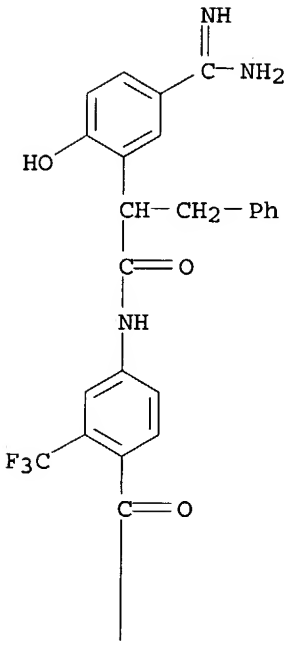
(a) 2-(5-amidino-2-hydroxy-phenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-yl-carbonyl)phenyl]-3-phenyl-propionamide,

(b) 2-(5-amidino-2-hydroxy-phenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-yl-carbonyl)-phenyl]-3-(pyridin-3-yl)-propionamide, and

(c) 2-(5-aminomethyl-2-hydroxy-phenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-yl-carbonyl)-phenyl]-3-phenyl-propionamide,

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **653603-90-8P 653603-94-2P 653603-96-4P 653603-98-6P 653603-99-7P**
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)
RN 653603-90-8 USPATFULL
CN Benzenepropanamide, α-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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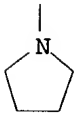
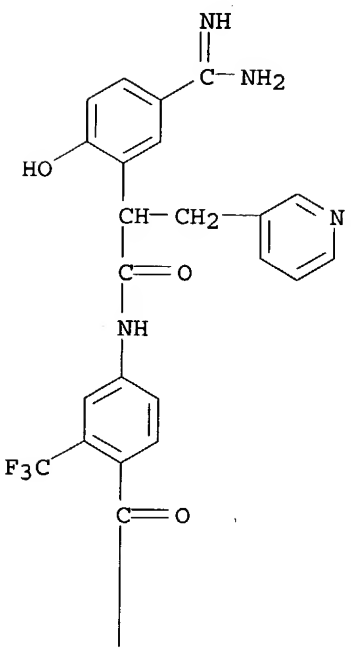


● HCl

RN 653603-94-2 USPATFULL
CN 3-Pyridinepropanamide, α-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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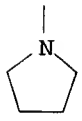

●2 HCl

RN 653603-96-4 USPATFULL
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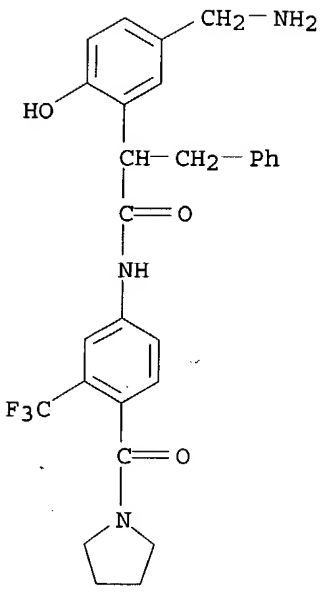
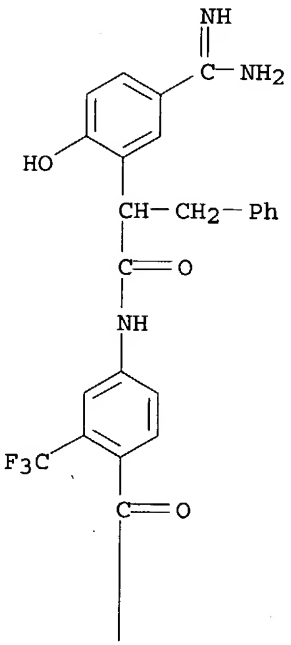


RN 653603-98-6 USPATFULL
CN Benzenepropanamide, α-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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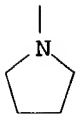
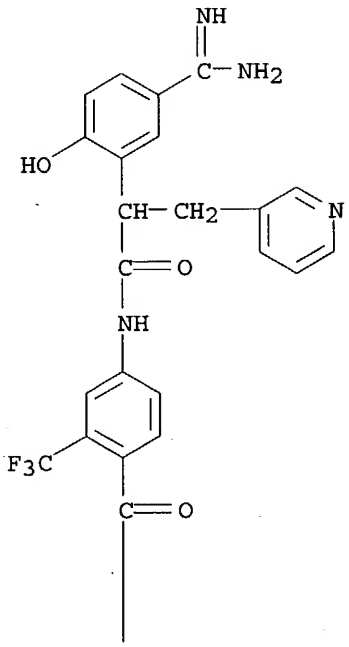


RN 653603-99-7 USPATFULL
CN 3-Pyridinepropanamide, α-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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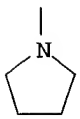
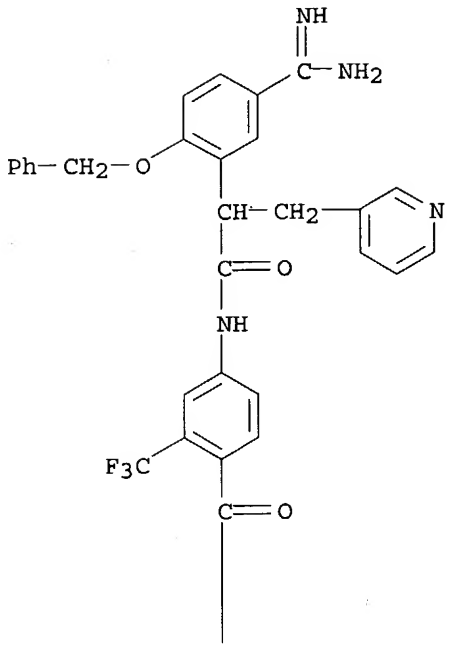


IT **653603-95-3**
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)
RN 653603-95-3 USPATFULL
CN 3-Pyridinepropanamide, α-[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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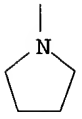
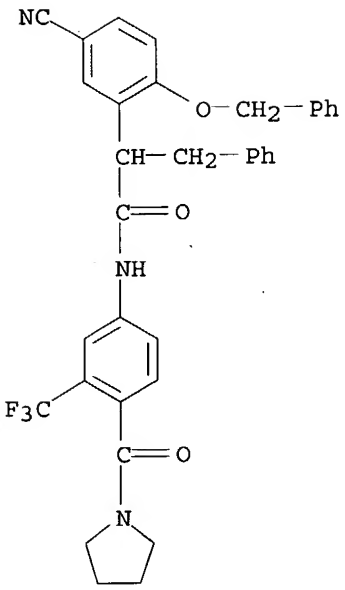


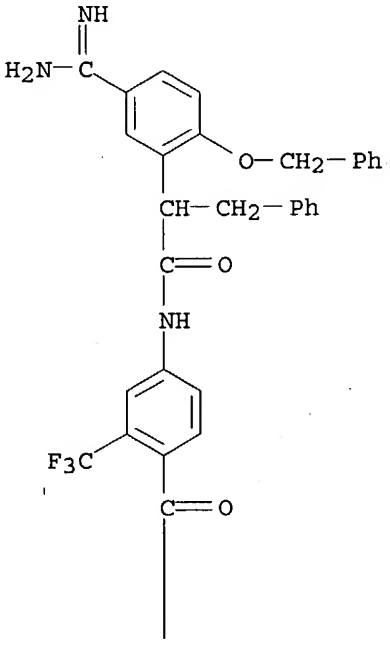
●2 HCl

IT **653603-92-0P 653603-93-1P 653603-97-5P**
(preparation of (phenyl)[(pyrrolidinylcarbonyl)phenyl]propanamides as factor Xa inhibitors)
RN 653603-92-0 USPATFULL
CN Benzenepropanamide, α-[5-cyano-2-(phenylmethoxy)phenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 653603-93-1 USPATFULL
CN Benzenepropanamide, α-[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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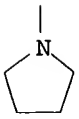
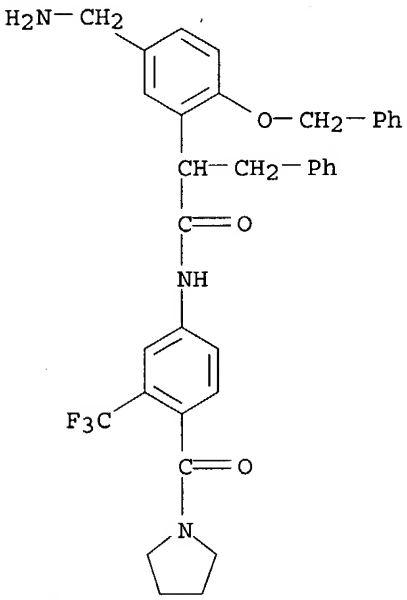


● HCl

RN 653603-97-5 USPATFULL
CN Benzenepropanamide, α-[5-(aminomethyl)-2-(phenylmethoxy)phenyl]-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



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FILE COVERS 1907 - 15 Sep 2004 VOL 141 ISS 12
FILE LAST UPDATED: 14 Sep 2004 (20040914/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L32 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:716256 HCAPLUS
DN 137:232654
ED Entered STN: 20 Sep 2002
TI Preparation of amidinohydroxyphenylbenzimidazolylphenylacetamides and related compounds as antithrombotics.
IN **Priepke, Henning; Nar, Herbert**; Stassen, Jean Marie; **Ries, Uwe; Wienen, Wolfgang**
PA **Boehringer Ingelheim Pharma K.-G., Germany**
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM C07D235-30
ICS C07D235-14; A61K031-416; A61P007-02; C07D239-70; A61K031-4184; C07D235-06; C07D231-54; A61K031-517; C07D235-26; C07D233-70; C07D235-08; A61K031-4152
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

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PI	WO 2002072558	A1	20020919	WO 2002-EP2615	20020309
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10111842	A1	20020919	DE 2001-10111842	20010313
	EP 1370540	A1	20031217	EP 2002-732472	20020309
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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PRAI	DE 2001-10111842	A	20010313		
	US 2001-280449P	P	20010330		
	WO 2002-EP2615	W	20020309		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002072558	ICM	C07D235-30
	ICS	C07D235-14; A61K031-416; A61P007-02; C07D239-70; A61K031-4184; C07D235-06; C07D231-54; A61K031-517; C07D235-26; C07D233-70; C07D235-08; A61K031-4152
US 2002183519	ECLA	C07D231/54; C07D233/70; C07D235/06B; C07D235/08; C07D235/14; C07D235/26; C07D235/30; C07D

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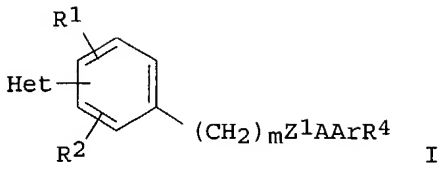

I

AB Title compds. [I; m = 0, 1; A = (substituted) alkylene; Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrimidinylene, pyrazinylene, pyridazinylene; Het = (substituted) 5-6 membered heterocyclyl; Z1 = CONR3; R1 = H, F, Cl, Br, OH, (substituted) alkyl, alkoxy; R2 = H, alkyl; R3 = H, alkyl, carboxyalkyl; R4 = cyano, aminomethyl, (substituted) amidino], were prepared Thus, [1-(2-methyl-4-aminophenyl)-1H-benzimidazol-2-ylmethyl]carbamidic acid tert-Bu ester (preparation given) 2-benzyloxy-5-cyanophenylacetic acid in DMF were treated with N-Methylmorpholine and O-(benzotriazol-1-yl)-N,N,N',N'-tetramethyluroniumtetrafluorborate followed by 5 h stirring to give 64% 2-(5-cyano-2-benzyloxyphenyl)-N-[3-methyl-4-(2-tert-butoxycarbonylaminomethylbenzimidazol-1-yl)phenyl]acetamide. The latter was refluxed with NaOAc and NH2OH.HCl in MeOH/EtOH/H2O to give 89% 2-(5-N-hydroxyamidino-2-benzyloxyphenyl)-N-[3-methyl-4-(2-tert-butoxycarbonylaminomethylbenzimidazol-1-yl)phenyl]acetamide. The latter was hydrogenated in MeOH/AcOH over Pd/C to give 30% 2-(5-amidino-2-hydroxyphenyl)-N-[3-methyl-4-(2-tert-butoxycarbonylaminomethylbenzimidazol-1-yl)phenyl]acetamide. Tested I inhibited Factor Xa with IC50 = 0.14-0.007 μM.

ST amidinohydroxyphenylbenzimidazolylphenylamide prepn antithrombotic; benzimidazolylphenylamide amidinohydroxyphenyl prepn antithrombotic; factor xa inhibitor amidinohydroxyphenylbenzimidazolylphenylamide prepn

IT Amides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl; preparation of amidinohydroxyphenylbenzimidazolylphenylacetamides and related compds. as antithrombotics)

IT Anticoagulants
Human
(preparation of amidinohydroxyphenylbenzimidazolylphenylacetamides and related compds. as antithrombotics)

IT Amidines
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinohydroxyphenylbenzimidazolylphenylacetamides and related compds. as antithrombotics)

IT 9002-05-5, Factor xa
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of amidinohydroxyphenylbenzimidazolylphenylacetamides and related compds. as antithrombotics)

IT 459826-64-3P, 2-(5-Amidino-2-hydroxyphenyl)-N-[3-methyl-4-(2-tert-butoxycarbonylaminomethylbenzimidazol-1-yl)phenyl]acetamide 459826-65-4P, 2-(5-Amidino-2-hydroxyphenyl)-N-[3-methyl-4-(2-aminomethylbenzimidazol-1-yl)phenyl]acetamide 459826-66-5P, 2-(5-Amidino-2-hydroxyphenyl)-N-[4-(4,5-dimethyl-2-oxo-2,3-dihydroimidazol-1-yl)-3-methylphenyl]acetamide 459826-67-6P, 2-(5-Amidino-2-hydroxyphenyl)-N-[4-(benzimidazol-1-yl)-3-methylphenyl]acetamide 459826-68-7P, 2-(5-Amidino-2-hydroxyphenyl)-N-[3-methyl-4-(2-methylbenzimidazol-1-yl)phenyl]acetamide 459826-69-8P 459826-70-1P, 2-(5-Amidino-2-hydroxyphenyl)-N-[3-methyl-4-(2-dimethylaminobenzimidazol-1-yl)phenyl]acetamide 459826-71-2P, 2-(5-Amidino-2-hydroxyphenyl)-N-[3-

methyl-4-(4,5,6,7-tetrahydrobenzimidazol-1-yl)phenyl]acetamide
459826-72-3P 459826-73-4P 459826-74-5P 459826-75-6P 459826-76-7P
459826-77-8P 459826-78-9P 459826-79-0P 459826-80-3P 459826-81-4P
459826-82-5P **459826-83-6P** 459826-84-7P 459826-85-8P
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459827-57-7P 459827-58-8P 459827-59-9P 459827-60-2P 459827-61-3P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinohydroxyphenylbenzimidazolylphenylacetamides and related compds. as antithrombotics)

IT 51-17-2, Benzimidazole 51-79-6, Ethyl carbamate 60-34-4, Methylhydrazine 74-89-5, Methylamine, reactions 106-95-6, 3-Bromopropene, reactions 124-40-3, Dimethylamine, reactions 141-82-2, Malonic acid, reactions 455-88-9, 2-Fluoro-5-nitrotoluene 513-86-0, Acetoin 767-00-0, 4-Hydroxybenzonitrile 936-52-7 1670-46-8, 2-Acetylcyclopentanone 3473-63-0, Formamidine acetate 3752-24-7, 4,5,6,7-Tetrahydrobenzimidazole 5805-57-2, 2-Aminomethylbenzimidazole 24964-64-5, 3-Cyanobenzaldehyde 30459-70-2, 2-Methyl-4-nitrobenzoyl chloride 39163-39-8 56309-59-2, 2-Methyl-4-nitrophenyl isocyanate 67515-59-7, 4-Fluoro-3-trifluoromethylbenzonitrile 109018-24-8 446026-44-4, 4-Benzyloxy-3-formylbenzonitrile 459827-31-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amidinohydroxyphenylbenzimidazolylphenylacetamides and related compds. as antithrombotics)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinohydroxyphenylbenzimidazolylphenylacetamides and related compds. as antithrombotics)

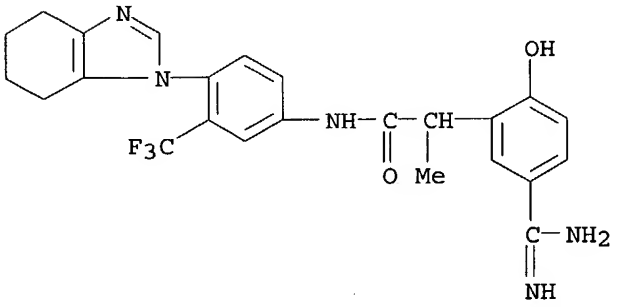
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE
(1) Bayer Ag; DE 19929787 A 2001 HCAPLUS
(2) Bayer Ag; DE 19929787 A 2001 HCAPLUS
(3) Boehringer Ingelheim Pharma; DE 19912690 A 2000 HCAPLUS
(4) Boehringer Ingelheim Pharma; DE 19912690 A 2000 HCAPLUS
(5) Boehringer Ingelheim Pharma; DE 19937494 A 2001 HCAPLUS
(6) Boehringer Ingelheim Pharma; DE 19937494 A 2001 HCAPLUS
(7) Ono Pharmaceutical Co; EP 1078917 A 2001 HCAPLUS
(8) Ono Pharmaceutical Co; EP 1078917 A 2001 HCAPLUS

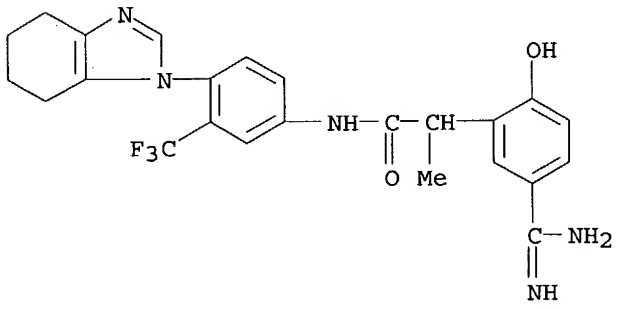
IT **459826-83-6P 459827-50-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinohydroxyphenylbenzimidazolylphenylacetamides and related compds. as antithrombotics)

RN 459826-83-6 HCAPLUS

CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-α-methyl-N-[4-(4,5,6,7-tetrahydro-1H-benzimidazol-1-yl)-3-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)



RN 459827-50-0 HCAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-α-methyl-N-[4-(4,5,6,7-tetrahydro-1H-benzimidazol-1-yl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L32 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:615560 HCAPLUS
DN 137:169322
ED Entered STN: 16 Aug 2002
TI Preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors
IN **Ries, Uwe-Joerg**; **Priepke, Henning**; **Nar, Herbert**; Stassen, Jean-Marie; **Wienen, Wolfgang**
PA **Boehringer Ingelheim Pharma K.-G., Germany**
SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM C07C257-18
ICS C07C237-20; C07C255-49; C07D207-48; C07D207-04; C07D211-08; C07D213-44; C07C249-02; C07C231-02; A61K031-155; A61K031-165; A61K031-395
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2002062748	A1	20020815	WO 2002-EP827	20020126
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	DE 10104598	A1	20020808	DE 2001-10104598	20010202
	DE 10136434	A1	20030213	DE 2001-10136434	20010726
	EP 1360170	A1	20031112	EP 2002-710038	20020126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004517955	T2	20040617	JP 2002-562708	20020126
PRAI	DE 2001-10104598	A	20010202		
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	WO 2002-EP827	W	20020126		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002062748	ICM	C07C257-18
	ICS	C07C237-20; C07C255-49; C07D207-48; C07D207-04; C07D211-08; C07D213-44; C07C249-02; C07C231-02; A61K031-155; A61K031-165; A61K031-395
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OS MARPAT 137:169322

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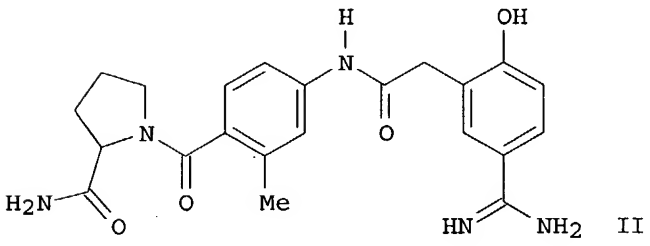

II

AB Title compds., e.g., R1Z1NHCOZ2R2 [I; R1 = 3- or 4-pyrrolidinylcarbonyl, 3- or 4-piperidinylcarbonyl, benzoyl, pyridinylcarbonyl, etc.; R2 = Z3R3; R3 = aminocarbonyl or C(:NH)NH2; Z1 = (un)substituted phenylene; Z2 = (un)substituted CH2; Z3 = 1,3-phenylene, 2-hydroxy-1,5-phenylene-, etc.] were prepared Thus, tert-Bu 4-amino-2-methylbenzoate was amidated by

5-cyano-2-benzyloxyphenylacetic acid and the saponified product amidated by L-prolinamide to give, in 2 addnl. steps, title compound L-II. Data for biol. activity of title compds. were given.

ST pyrrolidinocarbonylphenylamidinophenylacetamide prepn factor Xa inhibitor; thrombolytic pyrrolidinocarbonylphenylamidinophenylacetamide prepn

IT Human
Thrombolytics
(preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)

IT Embolism
(thromboembolism, treatment; preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)

IT 9002-05-5, Factor Xa
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(mediated disorders; treatment; preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)

IT 445003-31-6P 445003-46-3P 445003-53-2P 445003-59-8P 445003-68-9P
445003-74-7P 445003-76-9P 445003-84-9P 445003-86-1P 445003-88-3P
445003-90-7P 445004-04-6P 445004-11-5P 445004-13-7P 445004-15-9P
445004-17-1P 445004-19-3P 445004-21-7P 445004-23-9P 445004-27-3P
445004-30-8P 445004-33-1P 446305-38-0P 446305-39-1P 446305-40-4P
446305-41-5P **446305-42-6P** 446305-43-7P **446305-44-8P**
446305-45-9P **446305-46-0P** 446305-47-1P 446305-48-2P
446305-49-3P 446305-50-6P 446305-51-7P 446305-52-8P 446305-53-9P
446305-54-0P **446305-55-1P** 446305-56-2P **446305-57-3P**
446305-58-4P **446305-59-5P** 446305-60-8P 446305-61-9P
446305-62-0P 446305-63-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)

IT 99-60-5, 2-Chloro-4-nitrobenzoic acid 100-39-0, Benzyl bromide
100-46-9, Benzylamine, reactions 105-36-2, Bromoacetic acid ethyl ester
123-75-1, Pyrrolidine, reactions 320-37-6, 4-Nitro-2-trifluoromethylbenzoic acid 537-92-8, 3-Methylacetanilide 767-00-0, 4-Hydroxybenzonitrile 865-47-4, Potassium tert-butylate 1878-71-3, 3-Cyanobenzeneacetic acid 2597-56-0, 2-Methoxy-4-nitrobenzoic acid 3132-99-8, 3-Bromobenzaldehyde 7531-52-4, L-Prolinamide 16426-64-5, 2-Bromo-4-nitrobenzoic acid 20260-53-1, Nicotinoyl chloride hydrochloride 141774-61-0 325125-06-2 325798-05-8 445003-37-2
445003-94-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)

IT 33148-47-9P 62374-67-8P 79422-72-3P 79422-73-4P 90923-69-6P
209959-68-2P 209959-69-3P 325125-16-4P 325797-99-7P 445003-35-0P
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445003-48-5P 445003-55-4P 445003-61-2P 445003-63-4P 445003-65-6P
445003-70-3P 445003-78-1P 445003-80-5P 445003-82-7P 445003-92-9P
445003-96-3P 445003-98-5P 445004-00-2P 445004-02-4P 445004-08-0P
445256-33-7P 446026-46-6P 446305-64-2P 446305-65-3P 446305-66-4P
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446305-76-6P 446305-77-7P 446305-78-8P 446305-79-9P
446305-80-2P 446305-81-3P 446305-82-4P 446305-83-5P 446305-84-6P
446305-85-7P 446305-86-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)

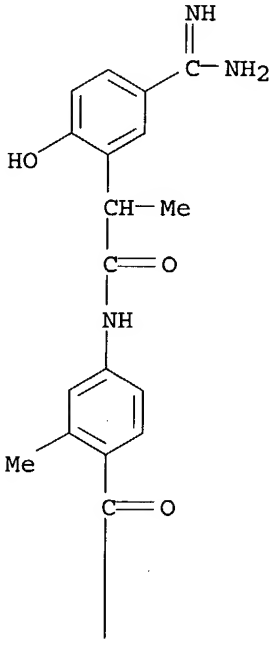
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE
(1) Boehringer Ingelheim Pharma; WO 0110823 A 2001 HCAPLUS
(2) Eli Lilly And Co; EP 0635492 A 1995 HCAPLUS
(3) Hoffmann La Roche; EP 0372486 A 1990 HCAPLUS
(4) Walsmann, P; PHARMAZIE 1981, V36(6), P446 HCAPLUS

IT **446305-42-6P 446305-44-8P 446305-46-0P**
446305-55-1P 446305-57-3P 446305-59-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)

RN 446305-42-6 HCAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-α-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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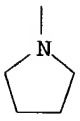

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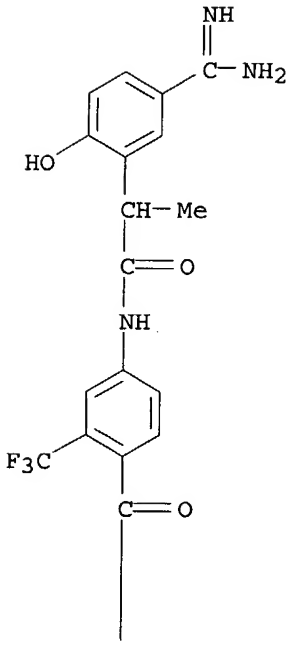
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-α-methyl-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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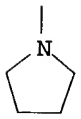
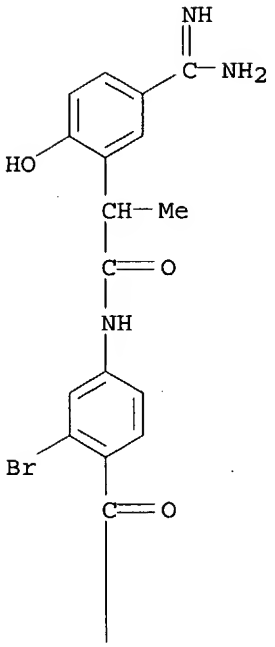


● HCl

RN 446305-46-0 HCAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-α-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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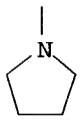
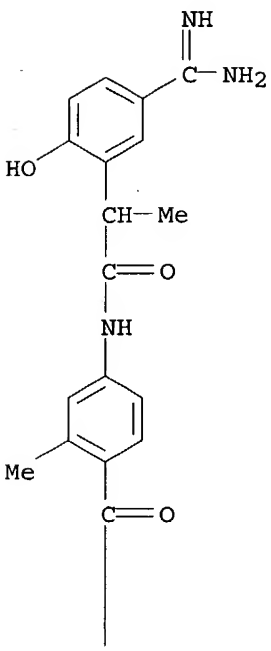


● HCl

RN 446305-55-1 HCAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-α-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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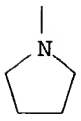
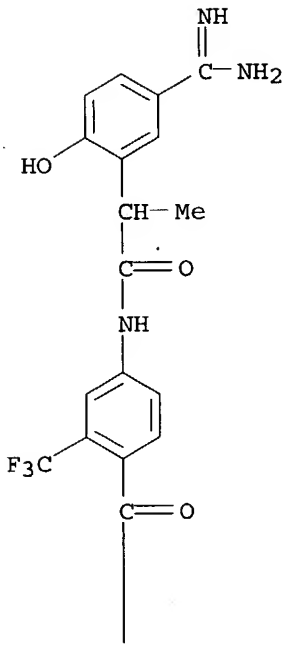


RN 446305-57-3 HCAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-α-methyl-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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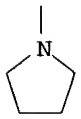
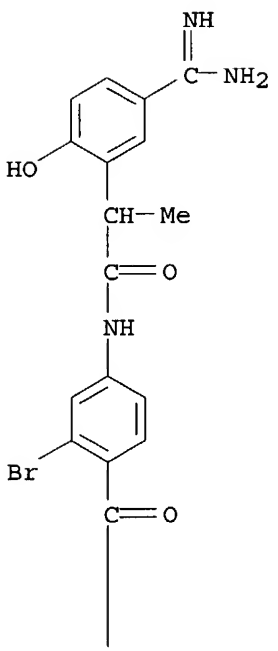


RN 446305-59-5 HCAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-α-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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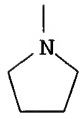
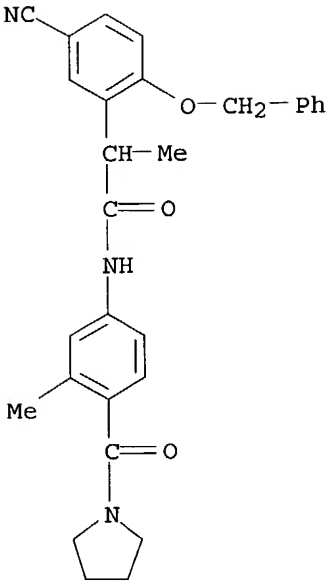


IT **446305-76-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)

RN 446305-76-6 HCAPLUS

CN Benzeneacetamide, 5-cyano-α-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)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CH—Me
C=O
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